

Amdt. Dated May 4, 2009
 Reply to Office Action of February 3, 2009

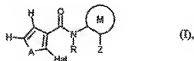
- 2 -

DUNKEL *et al.*
 Appl. No. 10/588,293

Amendments to the Claims

This listing of claims will replace all prior versions, and listings, of claims in the application.

1. (Currently amended) 2-Halofuryl/thienyl-3-carboxamides of the formula (I)



(I)

in which

A represents O (oxygen) or S (sulphur),

Hal represents halogen,

R represents hydrogen, C₁-C₈ alkyl, C₁-C₈ alkylsulphinyl, C₁-C₈ alkylsulphonyl, C₁-C₈ alkoxy-C₁-C₈ alkyl, C₃-C₈ cycloalkyl, C₁-C₈ haloalkyl, C₁-C₈ haloalkylthio, C₁-C₈ haloalkylsulphinyl, C₁-C₈ haloalkylsulphonyl, halo-C₁-C₈ alkoxy-C₁-C₈ alkyl, C₃-C₈ halocycloalkyl having in each case 1 to 9 fluorine, chlorine and/or bromine atoms; formyl, formyl-C₁-C₃ alkyl, (C₁-C₃ alkyl)carbonyl-C₁-C₃ alkyl, (C₁-C₃ alkoxy)carbonyl-C₁-C₃ alkyl, halo-(C₁-C₃ alkyl)carbonyl-C₁-C₃ alkyl, halo-(C₁-C₃ alkoxy)carbonyl-C₁-C₃ alkyl having in each case 1 to 13 fluorine, chlorine and/or bromine atoms; (C₁-C₈ alkyl)carbonyl, (C₁-C₈ alkoxy)carbonyl, (C₁-C₈ alkoxy-C₁-C₈ alkyl)carbonyl, (C₃-C₈ cycloalkyl)carbonyl; (C₁-C₈ haloalkyl)carbonyl, (C₁-C₈ haloalkoxy)carbonyl, (halo-C₁-C₈ alkoxy-C₁-C₈ alkyl)carbonyl, (C₃-C₈ halocycloalkyl)carbonyl having in each case 1 to 9 fluorine, chlorine and/or bromine atoms; or -C(=O)C(=O)R¹, -CONR²R³ or -CH₂NR⁴R⁵,

Atty. Dkt. No. 24091.066000/RWB/L-Z

Structure Search

=> FILE HCAPLUS
 FILE 'HCAPLUS' ENTERED AT 14:47:18 ON 05 JAN 2010
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FILE COVERS 1907 - 5 Jan 2010 VOL 152 ISS 2
 FILE LAST UPDATED: 4 Jan 2010 (20100104/ED)
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Oct 2009
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Oct 2009

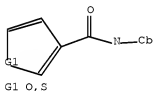
HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

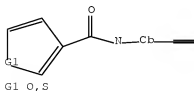
<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.
 'OBI' IS DEFAULT SEARCH FIELD FOR 'HCAPLUS' FILE

=> D STAT QUE L7
 L1 STR



Structure attributes must be viewed using STN Express query preparation.
 L3 26406 SEA FILE=REGISTRY SSS FUL L1
 L4 STR



Structure attributes must be viewed using STN Express query preparation.
 L6 138 SEA FILE=REGISTRY SUB=L3 SSS FUL L4
 L7 6 SEA FILE=HCAPLUS SPE=ON ABB=ON PLU=ON L6

=> FILE WPIX
 FILE 'WPIX' ENTERED AT 14:47:25 ON 05 JAN 2010
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FILE LAST UPDATED: 22 DEC 2009 <20091222/UP>
 MOST RECENT UPDATE: 200982 <200982/DW>
 DERWENT WORLD PATENTS INDEX SUBSCRIBER FILE, COVERS 1963 TO DATE
 >>> Now containing more than 1.5 million chemical structures in DCR <<<

>>> IPC, ECLA, US National Classifications and Japanese F-Terms
 and FI-Terms have been updated with reclassifications to
 end of September 2009.
 No update date (UP) has been created for the reclassified
 documents, but they can be identified by
 specific update codes (see HELP CLA for details) <<<

FOR A COPY OF THE DERWENT WORLD PATENTS INDEX STN USER GUIDE,
 PLEASE VISIT:
http://www.stn-international.com/stn_guide.html

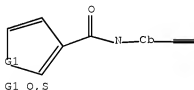
FOR DETAILS OF THE PATENTS COVERED IN CURRENT UPDATES, SEE
<http://scientific.thomsonreuters.com/support/patents/coverage/latestupdates/>

EXPLORE DERWENT WORLD PATENTS INDEX IN STN ANAVIST, VERSION 2.0:
http://www.stn-international.com/DWPIAnaVist2_0608.html

>>> HELP for European Patent Classifications see HELP ECLA, HELP ICO <<<

>>> Japanese FI-TERM thesaurus in field /FCL added --> see NEWS <<<
 'BI,ABEX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

=> D STAT QUE L17
 L4 STR



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 L17 4 SEA FILE=WPIX SPE=ON ABB=ON PLU=ON L9/DCR

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 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

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 COPYRIGHT (C) 2010 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'WPIX' ENTERED AT 14:47:38 ON 05 JAN 2010
 COPYRIGHT (C) 2010 THOMSON REUTERS
 PROCESSING COMPLETED FOR L7
 PROCESSING COMPLETED FOR L17
 L18 7 DUP REM L7 L17 (3 DUPLICATES REMOVED)
 ANSWERS '1-6' FROM FILE HCAPLUS
 ANSWER '7' FROM FILE WPIX

=> D IBIB ED ABS HITSTR 1-6; D IBIB AB HITSTR 7

L18 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 1
 ACCESSION NUMBER: 2009:457485 HCAPLUS Full-text
 DOCUMENT NUMBER: 150:456579
 TITLE: Organic compounds, metabotropic glutamate receptor
 mGluR5 modulators, for treatment of pervasive
 developmental disorders such as fragile X syndrome and
 associated tremor/ataxia
 INVENTOR(S): Umbricht, Daniel; Gomez-Mancilla, Baltazar
 PATENT ASSIGNEE(S): Novartis AG, Switz.
 SOURCE: PCT Int. Appl., 35pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009047303	A2	20090416	WO 2008-EP63553	20081009
WO 2009047303	A3	20090827		
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW,			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			

PRIORITY APPLN. INFO.: US 2007-979491P P 20071012

OTHER SOURCE(S): MARPAT 150:456579

ED Entered STN: 17 Apr 2009

AB The invention concerns the use an mGluR modulator, e.g. an mGluR5 modulator, for the treatment, prevention or delay of progression of a pervasive developmental disorder. The invention further concerns the use of an mGluR modulator for the treatment, prevention or delay of progression of a disorder is selected from fragile X syndrome and fragile X-associated tremor/ataxia syndrome (FXTAS).

IT 913704-31-1 913704-38-8 913704-45-7
 913704-47-9 913704-48-0 913704-49-1
 913704-63-9 913704-64-0 913704-76-4
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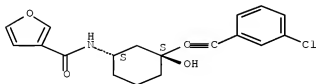
RL: PRPH (Prophetic); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(organic compds., metabotropic glutamate receptor mGluR5 modulators, for treatment of pervasive developmental disorders such as fragile X syndrome and associated tremor/ataxia)

RN 913704-31-1 HCAPLUS

CN 3-Furancarboxamide, N-[(1S,3S)-3-[2-(3-chlorophenyl)ethynyl]-3-hydroxycyclohexyl]- (CA INDEX NAME)

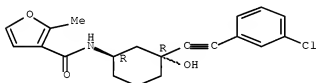
Absolute stereochemistry. Rotation (-).



RN 913704-38-8 HCAPLUS

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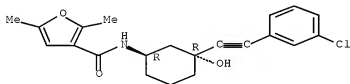
Absolute stereochemistry. Rotation (+).



RN 913704-45-7 HCAPLUS

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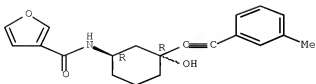
Relative stereochemistry.



RN 913704-47-9 HCAPLUS

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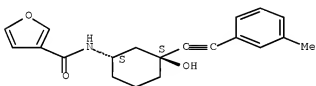
Absolute stereochemistry. Rotation (+).



RN 913704-48-0 HCAPLUS

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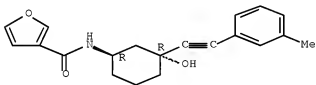
Absolute stereochemistry. Rotation (-).



RN 913704-49-1 HCAPLUS

CN 3-Furancarboxamide, N-[(1R,3R)-3-hydroxy-3-[2-(3-methylphenyl)ethynyl]cyclohexyl]-, rel- (CA INDEX NAME)

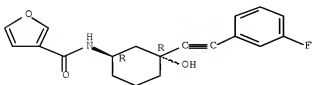
Relative stereochemistry.



RN 913704-63-9 HCAPLUS

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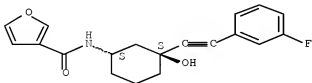
Absolute stereochemistry. Rotation (+).



RN 913704-64-0 HCAPLUS

CN 3-Furancarboxamide, N-[(1S,3S)-3-[2-(3-fluorophenyl)ethynyl]-3-hydroxycyclohexyl]- (CA INDEX NAME)

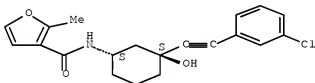
Absolute stereochemistry. Rotation (-).



RN 913704-76-4 HCAPLUS

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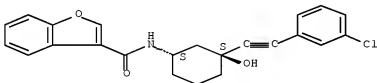
Absolute stereochemistry. Rotation (-).



RN 913705-54-1 HCAPLUS

CN 3-Benzofurancarboxamide, N-[(1S,3S)-3-[2-(3-chlorophenyl)ethynyl]-3-hydroxycyclohexyl]- (CA INDEX NAME)

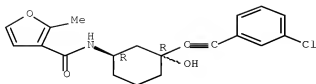
Absolute stereochemistry.



RN 913705-74-5 HCAPLUS

CN 3-Furancarboxamide, N-[(1R,3R)-3-[2-(3-chlorophenyl)ethynyl]-3-hydroxycyclohexyl]-2-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



L18 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 2
 ACCESSION NUMBER: 2006:1147354 HCAPLUS Full-text
 DOCUMENT NUMBER: 145:471235
 TITLE: Phenylacetylene derivatives as mGluR5 modulators and their preparation, pharmaceutical compositions and use in the treatment of CNS disorders
 INVENTOR(S): Glatthar, Ralf; Troxler, Thomas J.
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
 SOURCE: PCT Int. Appl., 33pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006114264	A1	20061102	WO 2006-EP3768	20060424
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AU 2006239549	A1	20061102	AU 2006-239549	20060424
CA 2605267	A1	20061102	CA 2006-2605267	20060424
EP 1877367	A1	20080116	EP 2006-724540	20060424
EP 1877367	B1	20091014		
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JP 2008538779	T	20081106	JP 2008-508135	20060424
AT 445593	T	20091015	AT 2006-724540	20060424
IN 2007DN07747	A	20071109	IN 2007-DN7747	20071009
MX 2007013226	A	20071212	MX 2007-13226	20071023
CN 101163669	A	20080416	CN 2006-80013687	20071023
KR 2008007334	A	20080118	KR 2007-724434	20071024
US 20080194551	A1	20080814	US 2007-912622	20071025
PRIORITY APPLN. INFO.:			GB 2005-8314	A 20050425
			WO 2006-EP3768	W 20060424
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT				
OTHER SOURCE(S):	CASREACT 145:471235; MARPAT 145:471235			
ED Entered STN:	02 Nov 2006			

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides compds. of formula I, to processes for their preparation and their use as pharmaceuticals, and their use as modulators of the mGlu5 receptor. Compds. of formula I wherein R1 is H and C1-4 alkyl; R2 is (un)substituted heterocycle, (un)substituted aryl, (un)substituted acyl, (un)substituted alkoxycarbonyl, (un)substituted aroyl, and (un)substituted heterocyclecarbonyl; R1R2N together may form (un)substituted heterocycle; R3 is C1-4 alkyl, C1-4 alkoxy, CF3, halo, CN, NO2, CHO, CO2-C1-4 alkyl, and CO-C1-4 alkyl; n is 0-5; R4 is OH, and R5 and R6 is H and C1-6 alkyl; R4 and R5 form a bond and R6 is H and C1-4 alkyl; R4 and R6 form a bond and R5 is H and C1-4 alkyl; and their free base and acid addition salts as well as their process for preparation are claimed. Example compound cis- and trans-II was prepared by addition of 1-chloro-3-ethynylbenzene to (4-oxocyclohexyl)carbamic acid Me ester. All the invention were evaluated for their mGluR5 inhibitory activity. From the assay, it was determined that compound III exhibited an IC50 value of 4000 nM.

IT 913738-37-1P 913738-38-2P

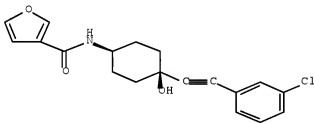
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of phenylacetylene derivs. as mGluR5 receptor modulators and their use in the treatment of CNS disorders)

RN 913738-37-1 HCAPLUS

CN 3-Furancarboxamide, N-[cis-4-[2-(3-chlorophenyl)ethynyl]-4-hydroxycyclohexyl]- (CA INDEX NAME)

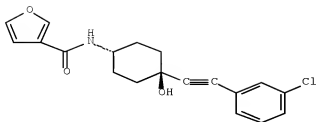
Relative stereochemistry.



RN 913738-38-2 HCAPLUS

CN 3-Furancarboxamide, N-[trans-4-[2-(3-chlorophenyl)ethynyl]-4-hydroxycyclohexyl]- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2010 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2006:1147627 HCAPLUS [Full-text](#)

DOCUMENT NUMBER: 145:471236

TITLE: Arylacetylene derivatives as mGluR5 modulators and their preparation, pharmaceutical compositions and their use in the treatment of CNS disorders

INVENTOR(S): Glatthar, Ralf; Troxler, Thomas J.; Zoller, Thomas; Nozulak, Joachim

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 39pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006114262	A1	20061102	WO 2006-EP3766	20060424
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ZA 2007008778	A	20090826	ZA 2007-8778	20071015
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Serial No.:10/588,293

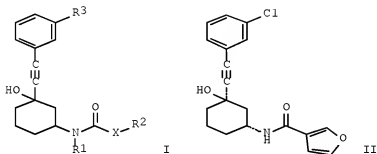
KR 2007116147	A	20071206	KR 2007-724448	20071024
KR 917068	B1	20090915		
US 20080214673	A1	20080904	US 2007-912626	20071025
NO 2007006006	A	20071121	NO 2007-6006	20071121
KR 2009028841	A	20090319	KR 2009-703946	20090225
PRIORITY APPLN. INFO.:			GB 2005-8319	A 20050425
			WO 2006-EP3766	W 20060424
			KR 2007-724448	A3 20071024

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 145:471236; MARPAT 145:471236

ED Entered STN: 02 Nov 2006

GI



AB The invention provides compds. of formula I, to processes for their preparation and their use as pharmaceuticals, and their use as modulators of the mGlu5 receptor. Compds. of formula I wherein R1 is H and alkyl; R2 is (un)substituted heterocycle and (un)substituted aryl; R3 is H halo; X is a single bond, (hetero)alkanedyl, carbonyl and carbonyloxy; and their free base and acid addition salts are claimed. Example compound II was prepared by amination of 2-cyclohexen-1-one with tert-Bu carbamate; the resulting (3-oxocyclohexyl)carbamic acid tert-Bu ester was added 1-chloro-3-ethynylbenzene followed by chromatography to give rac-[(trans)-3-(3-chlorophenylethynyl)-3-hydroxycyclohexyl]carbamic acid tert-Bu ester, which underwent resolution to give (+)-[(1R,3R)-3-(3-chlorophenylethynyl)-3-hydroxycyclohexyl]carbamic acid tert-Bu ester, which underwent hydrolysis to give (+)-[(1R,3R)-3-amino-1-(3-chlorophenylethynyl)cyclohexanol, which underwent amidation with furan-3-carboxylic acid to give compound II. All the invention compds. were evaluated for their mGlu5 receptor inhibitory activity. From the assay, it was determined that compound II exhibited an IC50 value of 28 nM.

IT 913704-30-0P 913704-31-1P 913704-38-8P
913704-45-7P 913704-47-9P 913704-48-0P
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913704-76-4P 913705-54-1P 913705-74-5P

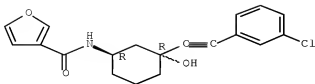
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of arylacetylene derivs. as MgluR5 receptor modulators and their use in the treatment of CNS disorders)

RN 913704-30-0 HCAPLUS

CN 3-Furancarboxamide, N-[(1R,3R)-3-[2-(3-chlorophenyl)ethynyl]-3-hydroxycyclohexyl]- (CA INDEX NAME)

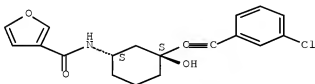
Absolute stereochemistry. Rotation (+).



RN 913704-31-1 HCAPLUS

CN 3-Furancarboxamide, N-[(1S,3S)-3-[2-(3-chlorophenyl)ethynyl]-3-hydroxycyclohexyl]- (CA INDEX NAME)

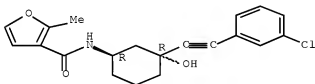
Absolute stereochemistry. Rotation (-).



RN 913704-38-8 HCAPLUS

CN 3-Furancarboxamide, N-[(1R,3R)-3-[2-(3-chlorophenyl)ethynyl]-3-hydroxycyclohexyl]-2-methyl- (CA INDEX NAME)

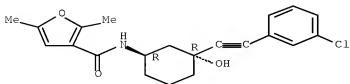
Absolute stereochemistry. Rotation (+).



RN 913704-45-7 HCAPLUS

CN 3-Furancarboxamide, N-[(1R,3R)-3-[2-(3-chlorophenyl)ethynyl]-3-hydroxycyclohexyl]-2,5-dimethyl-, rel- (CA INDEX NAME)

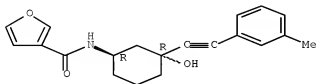
Relative stereochemistry.



RN 913704-47-9 HCAPLUS

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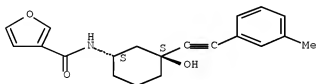
Absolute stereochemistry. Rotation (+).



RN 913704-48-0 HCAPLUS

CN 3-Furancarboxamide, N-[(1S,3S)-3-hydroxy-3-[2-(3-methylphenyl)ethynyl]cyclohexyl]- (CA INDEX NAME)

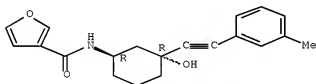
Absolute stereochemistry. Rotation (-).



RN 913704-49-1 HCAPLUS

CN 3-Furancarboxamide, N-[(1R,3R)-3-hydroxy-3-[2-(3-methylphenyl)ethynyl]cyclohexyl]-, rel- (CA INDEX NAME)

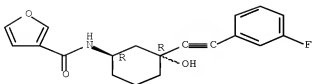
Relative stereochemistry.



RN 913704-63-9 HCAPLUS

CN 3-Furancarboxamide, N-[(1R,3R)-3-[2-(3-fluorophenyl)ethynyl]-3-hydroxycyclohexyl]- (CA INDEX NAME)

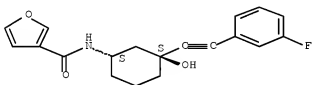
Absolute stereochemistry. Rotation (+).



RN 913704-64-0 HCAPLUS

CN 3-Furancarboxamide, N-[(1S,3S)-3-[2-(3-fluorophenyl)ethynyl]-3-hydroxycyclohexyl]- (CA INDEX NAME)

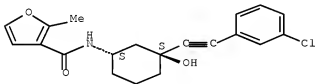
Absolute stereochemistry. Rotation (-).



RN 913704-76-4 HCAPLUS

CN 3-Furancarboxamide, N-[(1S,3S)-3-[2-(3-chlorophenyl)ethynyl]-3-hydroxycyclohexyl]-2-methyl- (CA INDEX NAME)

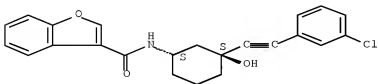
Absolute stereochemistry. Rotation (-).



RN 913705-54-1 HCAPLUS

CN 3-Benzofurancarboxamide, N-[(1S,3S)-3-[2-(3-chlorophenyl)ethynyl]-3-hydroxycyclohexyl]-2-methyl- (CA INDEX NAME)

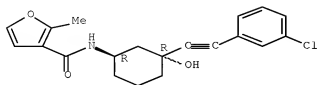
Absolute stereochemistry.



RN 913705-74-5 HCAPLUS

CN 3-Furancarboxamide, N-[(1R,3R)-3-[2-(3-chlorophenyl)ethynyl]-3-hydroxycyclohexyl]-2-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:409486 HCAPLUS Full-text

DOCUMENT NUMBER: 142:463763

TITLE: Preparation of pyrazolylcarboxanilides and related compounds as microbicides

INVENTOR(S): Dunkel, Ralf; Elbe, Hans-Ludwig; Greul, Joerg Nico; Hartmann, Benoit; Wachendorff-Neumann, Ulrike; Dahmen, Peter; Kuck, Karl-Heinz

PATENT ASSIGNEE(S): Bayer Cropscience Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042494	A1	20050512	WO 2004-EP11408	20041012
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG			
DE 10352067	A1	20050525	DE 2003-10352067	20031107
IN 2004DE01803	A	20060818	IN 2004-DE1803	20040923
AU 2004285636	A1	20050512	AU 2004-285636	20041012
CA 2543054	A1	20050512	CA 2004-2543054	20041012
EP 1680407	A1	20060719	EP 2004-790302	20041012
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
CN 1871218	A	20061129	CN 2004-80031176	20041012

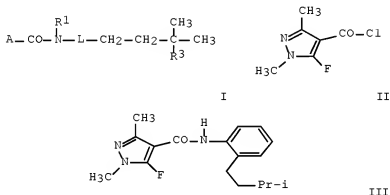
BR 2004015848	A	20070102	BR 2004-15848	20041012
JP 2007509089	T	20070412	JP 2006-535997	20041012
MX 2006004308	A	20060605	MX 2006-4308	20060418
ZA 2006003061	A	20070725	ZA 2006-3061	20060418
US 20070004921	A1	20070104	US 2006-576060	20060828
PRIORITY APPLN. INFO.:			DE 2003-10349498	A 20031023

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 142:463763

ED Entered STN: 13 May 2005

GI



AB Title compds. I [A = substituted pyrazoles, thioles, pyridines, etc.; L = Ph, thioles with provisos; R3 = H, halo, alkyl, etc.] were prepared For example, N-acylation of [2-(3-dimethylbutyl)]phenylamine with acid chloride II afforded pyrazolylcarboxanilide III in 98% yield. In venturia apple protection assays, 12-examples of compds. I exhibited 88-100% efficiency at 100 g/ha (sic) application. Compds. I are claimed to be useful for the controlling of undesired microorganisms.

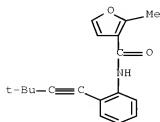
IT 851758-24-2P

RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazolylcarboxanilides and related compds. as microbicides)

RN 851758-24-2 HCAPLUS

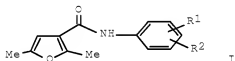
CN 3-Furancarboxamide, N-[2-(3,3-dimethyl-1-butyn-1-yl)phenyl]-2-methyl- (CA
INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L18 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2010 ACS ON STN
ACCESSION NUMBER: 1999:732165 HCAPLUS Full-text
DOCUMENT NUMBER: 131:310541
TITLE: Preparation of dimethylfurancarboxanilide derivatives
as wood preservatives
INVENTOR(S): Konishi, Kiyoshi; Yanai, Toshiaki; Saito, Akio
PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 31 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

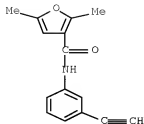
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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CN 1152307	A	19970618	CN 1994-195129	19940415
CN 1076350	C	20011219		
PRIORITY APPLN. INFO.:			CN 1994-195129	19940415
OTHER SOURCE(S):	MARPAT	131:310541		
ED Entered STN: 18 Nov 1999				
GI				



AB Title compds. I (R1, R2 = H, alkyl, cycloalkyl, alkenyl, alkynyl, haloalkyl, alkoxy, cyano, etc.), useful as wood preservatives, are prepared Thus, refluxing 2,5-dimethylfuran-3-carbonyl chloride with 3-(acetilamino)aniline in CH2Cl2 in the presence of Et3N for 4.5 h gave 59.4% I (R1 = 3-AcNH, R2 = H). A solution containing 0.1 w/v% I (R1 = 3-Et, R2 = H) gave complete control of *Coriolus versicolor* and *Tyromyces palustris*.

IT 160718-23-0P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of dimethylfurancarboxanilide derivs. as wood preservatives)

RN 160718-23-0 HCAPLUS
CN 3-Furancarboxamide, N-(3-ethynylphenyl)-2,5-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L18 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 1995:336568 HCAPLUS Full-text
 DOCUMENT NUMBER: 122:105641
 ORIGINAL REFERENCE NO.: 122:19875a,19878a
 TITLE: Preparation of dimethylfurancarboxyanilides as wood preservatives
 INVENTOR(S): Konishi, Seiji; Yanai, Toshiaki; Saito, Akio
 PATENT ASSIGNEE(S): Sankyo Co., Ltd., Japan
 SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp.
 CODEN: JKXXAF
 DOCUMENT TYPE: Patent
 LANGUAGE: Japanese
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06220035	A	19940809	JP 1993-257940	19931015
JP 2825745	B2	19981118		
CA 2187879	A1	19950420	CA 1994-2187879	19940415
CA 2187879	C	20040810		
WO 9510511	A1	19950420	WO 1994-JP631	19940415
W: AU, BR, CA, CN, FI, KR, NO, NZ, PL, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9465131	A	19950504	AU 1994-65131	19940415
AU 678826	B2	19970612		
EP 755927	A1	19970129	EP 1994-912688	19940415
EP 755927	B1	20010718		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
RU 2120442	C1	19981020	RU 1996-121397	19940415
AT 203239	T	20010815	AT 1994-912688	19940415
ES 2159557	T3	20011016	ES 1994-912688	19940415
PT 755927	E	20011031	PT 1994-912688	19940415
FI 9604111	A	19961205	FI 1996-4111	19961014
NO 9604369	A	19961216	NO 1996-4369	19961014
NO 316446	B1	20040126		
US 5977168	A	19991102	US 1997-999547	19971229
HK 1011982	A1	20020215	HK 1998-113195	19981211
CN 1342405	A	20020403	CN 2000-127086	20000908
US 20010000184	A1	20010405	US 2000-729546	20001204
US 6380247	B2	20020430		
GR 3036512	T3	20011231	GR 2001-401372	20010904
US 20020091154	A1	20020711	US 2001-40138	20011024
US 6506913	B2	20030114		

PRIORITY APPLN. INFO.:

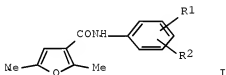
JP 1992-278755	A	19921016
JP 1993-257940	A	19931015
EP 1994-912688	A	19940415
WO 1994-JP631	W	19940415
US 1996-730751	B1	19961015
US 1997-999547	A3	19971229
US 1999-306170	A1	19990506
US 2000-729546	A3	20001204

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 122:105641; MARPAT 122:105641

ED Entered STN: 07 Feb 1995

GI



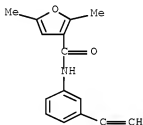
AB The title compds. I [R1, R2 = H, alkyl, etc.; a proviso is given] are prepared A solution containing 0.1 w/v% 2,5-dimethylfuran-3-carboxy-(3- ethylanilide) gave complete control of *Corioli* versicolor and *Tyromyces palustris*. The activities of 21 compds. I against *Corioli* versicolor and *Tyromyces palustris* are given in a table in this document.

IT 160718-23-0P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of dimethylfuran carboxyanilides as wood preservatives)

RN 160718-23-0 HCAPLUS

CN 3-Furancarboxamide, N-(3-ethynylphenyl)-2,5-dimethyl- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

L18 ANSWER 7 OF 7 WPIX COPYRIGHT 2010 THOMSON REUTERS on STN

ACCESSION NUMBER: 2009-H19653 [30] WPIX

TITLE: Use of metabotropic glutamate receptor modulator in the

treatment, prevention or delay of progression of Parkinson's disease or disorder associated with Parkinson's disease e.g. Parkinson's associated levodopa induced dyskinesia

DERWENT CLASS:

B03; B05

INVENTOR:

DI PAOLO T; GASPARINI F; GOMEZ-MANCILLA B; UMBRICH T D

PATENT ASSIGNEE:

(NOVS-C) NOVARTIS AG

COUNTRY COUNT:

123

PATENT INFO ABBR.:

PATENT NO	KIND	DATE	WEEK	LA	PG	MAIN IPC
WO 2009047296	A2	20090416	(200930)*	EN	48[0]	
WO 2009047296	A3	20090820	(200955)	EN		
TW 2009024745	A	20090616	(200982)	ZH		

APPLICATION DETAILS:

PATENT NO	KIND	APPLICATION	DATE
WO 2009047296	A2	WO 2008-EP63544	20081009
WO 2009047296	A3	WO 2008-EP63544	20081009
TW 2009024745	A	TW 2008-139070	20081009

PRIORITY APPLN. INFO: US 2007-979486P 20071012
US 2008-50333P 20080505

AB WO 2009047296 A2 UPAB: 20090514

NOVELTY - In the treatment, prevention or delay of progression of Parkinson's disease and/or a disorder associated with Parkinson's disease, metabotropic glutamate receptor (mGluR) modulator is used.

DETAILED DESCRIPTION - INDEPENDENT CLAIMS are included for the following:

(1) a pharmaceutical composition comprising mGluR modulator, for the treatment, prevention or delay of progression of Parkinson's disease and/or a disorder associated with Parkinson's disease;

(2) a kit comprising mGluR modulator and instructions for using the modulator in the treatment, prevention or delay of progression of Parkinson's disease and/or a disorder associated with Parkinson's disease; and

(3) a product comprising mGluR modulator and levodopa (L-dopa) as a combined preparation for simultaneous, separate or sequential use in therapy.

ACTIVITY - Muscular-Gen.; Antiparkinsonian.

MECHANISM OF ACTION - Metabotropic glutamate receptor (mGluR) (such as mGluR5) modulator; Metabotropic glutamate receptor 5 (mGluR5) antagonist. The compounds (I) were evaluated for inhibition of glutamate induced elevation of intracellular Ca²⁺ concentration measured in recombinant cells expressing human mGluR5a and showed IC₅₀ of 1 nM to 50 μM.

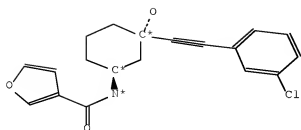
USE - In the preparation of pharmaceutical composition for treatment, prevention or delay of progression of Parkinson's disease and/or a disorder associated with Parkinson's disease such as Parkinson's associated levodopa (L-dopa) induced dyskinesia, Parkinson's disease non-L-dopa induced dyskinesia in a subject (claimed).

ADVANTAGE - The metabotropic glutamate receptor (mGluR) modulator compound is potent mGluR5 modulator; an mGluR antagonist; an mGluR5 antagonist that effectively treats diseases with reduced adverse side effects.

AN.S DCR-2025052

CN.S Furan-3-carboxylic acid [(1S,3S)-3-(3-chloro-phenylethynyl)-3-hydroxy-cyclohexyl]-amide

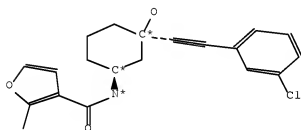
SDCN RB1YDR



AN.S DCR-1392798

CN.S 2-Methyl-furan-3-carboxylic acid [(1R,3R)-3-(3-chloro-phenylethynyl)-3-hydroxy-cyclohexyl]-amide

SDCN RAOKGV



=> D HIS NOFILE

(FILE 'HOME' ENTERED AT 14:25:58 ON 05 JAN 2010)

FILE 'REGISTRY' ENTERED AT 14:26:04 ON 05 JAN 2010

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L3 26406 SEA SSS FUL L1
L4 STRUCTURE UPLOADED
L5 10 SEA SUB=L3 SSS SAM L4
 D SCAN
L6 138 SEA SUB=L3 SSS FUL L4

FILE 'HCAPLUS' ENTERED AT 14:30:34 ON 05 JAN 2010

L7 6 SEA SPE=ON ABB=ON PLU=ON L6

FILE 'WPIX' ENTERED AT 14:31:05 ON 05 JAN 2010

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FILE 'BEILSTEIN' ENTERED AT 14:31:27 ON 05 JAN 2010

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L13 STRUCTURE UPLOADED
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D STAT QUE L9

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 ANSWERS '7-13' FROM FILE WPIX
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 D IBIB AB HITSTR 7-13

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L17 4 SEA SPE=ON ABB=ON PLU=ON L9/DCR

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D STAT QUE L7

FILE 'WPIX' ENTERED AT 14:47:25 ON 05 JAN 2010

D STAT QUE L17

FILE 'HCAPLUS, WPIX' ENTERED AT 14:47:38 ON 05 JAN 2010

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 ANSWER '7' FROM FILE WPIX
 D IBIB ED ABS HITSTR 1-6

D IBIB AB HITSTR 7

=>